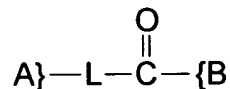


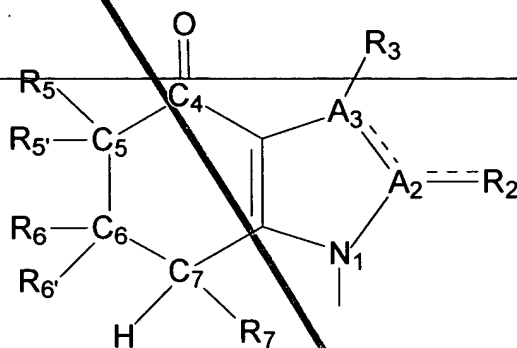
We claim:

1. A tetrahydroindolone derivative or analogue having the schematic structure:



where:

- (a) A is a 9-atom bicyclic moiety in which the five-membered ring has 1 to 3 nitrogen atoms, the bicyclic moiety having the structure:



where:

- (i) N₁ is bonded to L;
- (ii) A₂ and A₃ are C or N:

(A) if A₂ and A₃ are both C and the bond between A₂ and A₃ is a single bond, then the bond between A₂ and R₂ is two single bonds to two hydrogen atoms or is a double bond in which R₂ is O or S and R₃ is two hydrogen atoms;

(B) if A₂ and A₃ are both C and the bond between A₂ and A₃ is a double bond, then R₃ is hydrogen, the bond between A₂ and R₂ is a single bond and R₂ is hydrogen, halo, alkyl, alkenyl, aryl, aralkyl, aralkenyl, heteroaryl, heteroaralkyl, or heteroaralkenyl;

(C) if A₂ and A₃ are both N, then the bond between A₂ and A₃ is a double bond and R₂ and R₃ are not present;

(D) if A_2 is N and A_3 is C, then the bond between A_2 and A_3 is a double bond, R_2 is not present, and R_3 is hydrogen;

(E) if A_2 is C, A_3 is N, and the bond between A_2 and A_3 is a double bond, then R_3 is not present, the bond between A_2 and R_2 is a single bond, and R_2 is hydrogen, halo, alkyl, alkenyl, aryl, aralkyl, aralkenyl, heteroaryl, heteroaralkyl, or heteroaralkenyl;

(F) if A_2 is C, A_3 is N, and the bond between A_2 and A_3 is a single bond, then R_3 is hydrogen, alkyl, aryl, aralkyl, heteroaryl, or heteroaralkyl, the bond between A_2 and R_2 is a double bond, and A_2 is O or S;

(iii) R_5 is hydrogen, alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, alkanoyl, aroyl, heteroaroyl, aralkanoyl, heteroaralkanoyl, NH_2 , NHQ_1 , NQ_1Q_2 , OH, OQ_1 , or SQ_1 , where Q_1 and Q_2 are alkyl, aralkyl, heteroaralkyl, aryl, heteroaryl, alkanoyl, aroyl, aralkanoyl, heteroaralkanoyl, heteroaroyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aralkylsulfonyl, or heteroaralkylsulfonyl in which the alkyl portions can be cyclic and can contain from 1 to 3 heteroatoms which can be N, O, or S, and when Q_1 and Q_2 are present together and are alkyl, they can be taken together to form a 5 or 6 member ring which may contain 1 other heteroatom which can be N, O, or S, of which the N may be further substituted with Y_2 , where Y_2 is alkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, alkanoyl, aroyl, heteroaroyl, aralkanoyl, heteroaralkanoyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aralkylsulfonyl, heteroaralkylsulfonyl, alkoxycarbonyl, aryloxycarbonyl, heteroaryloxycarbonyl, aralkoxycarbonyl, heteroaralkoxycarbonyl, alkylaminocarbonyl, arylaminocarbonyl, heteroarylaminocarbonyl, aralkylaminocarbonyl, or heteroaralkylaminocarbonyl, in which the alkyl portions can be cyclic and can contain from 1 to 3 heteroatoms which can be N, O, or S;

(iv) $R_{5'}$ is hydrogen unless R_5 is alkyl, in which case $R_{5'}$ is hydrogen or the same alkyl as R_5 ;

(v) R_5 and $R_{5'}$ can be taken together as a double bond to C_5 and can be O, S, NQ_3 , or C which can be substituted with one or two groups R_5 , where Q_3 is alkyl, aralkyl, heteroaralkyl, aryl, heteroaryl, alkanoyl, aroyl, aralkanoyl,

heteroaralkanoyl, or heteroaroyl in which the alkyl portions can be cyclic and can contain from 1 to 3 heteroatoms which can be N, O, or S;

(vi) R_6 is hydrogen, alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, NH_2 , NHQ_4 , NQ_4Q_5 , OH , OQ_4 , or SQ_4 , where Q_4 and Q_5 are alkyl, aralkyl, heteroaralkyl, aryl, heteroaryl, alkanoyl, aroyl, aralkanoyl, heteroaralkanoyl, heteroaroyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aralkylsulfonyl, or heteroaralkylsulfonyl in which the alkyl portions can be cyclic and can contain from 1 to 3 heteroatoms which can be N, O, or S, and when Q_1 and Q_2 are present together and are alkyl, they can be taken together to form a 5 or 6 member ring which may contain 1 other heteroatom which can be N, O, or S, of which the N may be further substituted with Y_2 , where Y_2 is alkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, alkanoyl, aroyl, heteroaroyl, aralkanoyl, heteroaralkanoyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aralkylsulfonyl, heteroaralkylsulfonyl, alkoxycarbonyl, aryloxycarbonyl, heteroaryloxycarbonyl, aralkoxycarbonyl, heteroaralkoxycarbonyl, alkylaminocarbonyl, arylaminocarbonyl, heteroarylaminocarbonyl, aralkylaminocarbonyl, or heteroaralkylaminocarbonyl, in which the alkyl portions can be cyclic and can contain from 1 to 3 heteroatoms which can be N, O, or S;

(vii) R_6 is hydrogen unless R_6 is alkyl, in which case R_6 is hydrogen or the same alkyl as R_6 ;

(viii) R_6 and R_6' can be taken together as a double bond to C_5 and can be O, S, NQ_6 , or C which can be substituted with one or two groups R_5 , and where Q_6 is alkyl, aralkyl, heteroaralkyl, aryl, heteroaryl, alkanoyl, aroyl, aralkanoyl, heteroaralkanoyl, heteroaroyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aralkylsulfonyl, or heteroaralkylsulfonyl in which the alkyl portions can be cyclic and can contain from 1 to 3 heteroatoms which can be N, O, or S;

(ix) R_7 is hydrogen unless R_5 is alkyl and R_5' is hydrogen, in which case R_7 is the same alkyl as R_5 ;

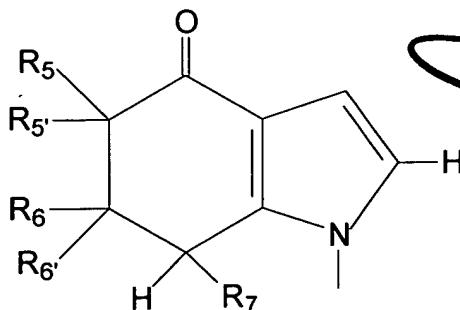
(b) L is a hydrocarbyl moiety of 1 to 6 carbon atoms that can be cyclic, with the hydrocarbyl moiety being optionally substituted with one or more substituents

selected from the group consisting of lower alkyl, amino, hydroxy, lower alkoxy, lower alkylamino, lower alkythio, and oxo; and

(c) B is -OZ or N(Y₁)-D, where Z is hydrogen, alkyl, aryl, heteroaryl, cycloalkyl, aralkyl, or heteroaralkyl, D is a moiety that promotes absorption of the derivative or analogue, and Y₁ is hydrogen, alkyl, aryl, heteroaryl, aralkyl, or heteroaralkyl, which, when taken with D, can form a cyclic 5- or 6-membered saturated structure which can contain one other heteroatom which can be O, N, or S, of which N can be further substituted with Y₂, where Y₂ is alkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, alkanoyl, aroyl, heteroaroyl, aralkanoyl, heteroaralkanoyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aralkylsulfonyl, heteroaralkylsulfonyl, alkoxycarbonyl, aryloxycarbonyl, heteroaryloxycarbonyl, aralkoxycarbonyl, heteroaralkoxycarbonyl, alkylaminocarbonyl, arylaminocarbonyl, heteroarylaminocarbonyl, aralkylaminocarbonyl, or heteroaralkylaminocarbonyl, in which the alkyl portions can be cyclic and can contain from 1 to 3 heteroatoms which can be N, O, or S.

2. The tetrahydroindolone derivative or analogue of claim 1 wherein A is a tetrahydroindolone moiety.

3. The tetrahydroindolone derivative or analogue of claim 2 wherein the tetrahydroindolone moiety is a tetrahydroindolone moiety of Formula (II)



in which:

(a) R_5 is hydrogen, alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, alkanoyl, aroyl, heteroaroyl, aralkanoyl, heteroaralkanoyl, NH_2 , NHW_1 , NQ_1Q_2 , OH , OQ_1 , or SQ_1 , where Q_1 and Q_2 are alkyl, aralkyl, heteroaralkyl, aryl, heteroaryl, alkanoyl, aroyl, aralkanoyl, heteroaralkanoyl, or heteroaroyl in which the alkyl portions can be cyclic and can contain from 1 to 3 heteroatoms which can be N, O, or S, and where W_1 is alkyl, aralkyl, heteroaralkyl, aryl, heteroaryl, alkanoyl, aroyl, aralkanoyl, heteroaralkanoyl, or heteroaroyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aralkylsulfonyl, or heteroaralkylsulfonyl in which the alkyl portions can be cyclic and can contain from 1 to 3 heteroatoms which can be N, O, or S;

(b) $R_{5'}$ is hydrogen;

~~(c) R_6 is hydrogen, alkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, alkanoyl, aroyl, heteroaroyl, aralkanoyl, heteroaralkanoyl, NH_2 , NHW_1 , NQ_1Q_2 , OH , OQ_1 , or SQ_1 , where Q_1 and Q_2 are alkyl, aralkyl, heteroaralkyl, aryl, heteroaryl, alkanoyl, aroyl, aralkanoyl, heteroaralkanoyl, or heteroaroyl in which the alkyl portions can be cyclic and can contain from 1 to 3 heteroatoms which can be N, O, or S, and where W_1 is alkyl, aralkyl, heteroaralkyl, aryl, heteroaryl, alkanoyl, aroyl, aralkanoyl, heteroaralkanoyl, or heteroaroyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aralkylsulfonyl, or heteroaralkylsulfonyl in which the alkyl portions can be cyclic and can contain from 1 to 3 heteroatoms which can be N, O, or S;~~

(d) $R_{6'}$ is hydrogen; and

(e) R_7 is hydrogen.

4. The tetrahydroindolone derivative or analogue of claim 3 wherein R_5 , $R_{5'}$, R_6 , $R_{6'}$, and R_7 are all hydrogen.

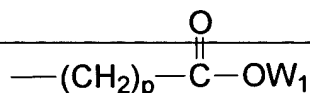
5. The tetrahydroindolone derivative or analogue of claim 1 wherein L has the structure $-(CH_2)_n-$ wherein n is an integer from 1 to 6.

6. The tetrahydroindolone derivative or analogue of claim 5 wherein n is 2.
 7. The tetrahydroindolone derivative or analogue of claim 5 wherein n is 3.
 8. The tetrahydroindolone derivative or analogue of claim 1 wherein the moiety B is -OZ.
 9. The tetrahydroindolone derivative or analogue of claim 8 wherein Z is hydrogen.
-
10. The tetrahydroindolone derivative or analogue of claim 8 wherein Z is alkyl.
 11. The tetrahydroindolone derivative or analogue of claim 10 wherein Z is selected from the group consisting of methyl, ethyl, butyl, propyl, and isopropyl.
 12. The tetrahydroindolone derivative or analogue of claim 1 wherein the moiety B is N(Y₁)-D.
 13. The tetrahydroindolone derivative or analogue of claim 12 wherein Y₁ is hydrogen.
 14. The tetrahydroindolone derivative or analogue of claim 12 wherein Y₁ is lower alkyl.

15. The tetrahydroindolone derivative or analogue of claim 14 wherein Y_1 is methyl

16. The tetrahydroindolone derivative or analogue of claim 12 wherein D is a moiety having at least one polar, charged, or hydrogen-bond-forming group to increase the bioavailability properties of the tetrahydroindolone derivative or analogue.

17. The tetrahydroindolone derivative or analogue of claim 16 wherein D is a carboxylic acid or carboxylic acid ester with the structure

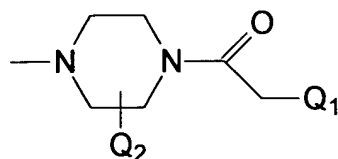


wherein p is an integer from 1 to 6 and W_1 is selected from the group consisting of hydrogen and lower alkyl.

18. The tetrahydroindolone derivative or analogue of claim 17 wherein W_1 is hydrogen.

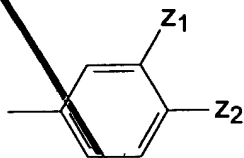
19. The tetrahydroindolone derivative or analogue of claim 17 wherein W_1 is ethyl.

20. The tetrahydroindolone derivative or analogue of claim 16 wherein D and Y_1 are taken together to form a piperazine derivative of the structure



wherein Q_1 is hydrogen, methyl, ethyl, butyl, or propyl, and Q_2 is hydrogen or methyl, where, if Q_2 is methyl, it can be located at either of the two possible positions in the piperazine ring.

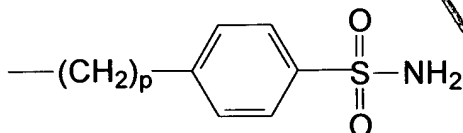
21. The tetrahydroindolone derivative or analogue of claim 16 wherein D has the structure



where one of Z_1 and Z_2 is hydrogen, and the other of Z_1 and Z_2 is $-\text{COOH}$ or $-\text{COOW}_1$, wherein W_1 is alkyl.

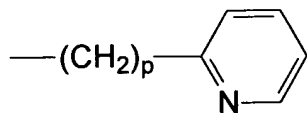
22. The tetrahydroindolone derivative or analogue of claim 21 wherein W_1 is selected from the group consisting of methyl, ethyl, propyl, butyl, and isobutyl.

23. The tetrahydroindolone derivative or analogue of claim 16 wherein D is a phenylsulfonamidyl moiety of the structure



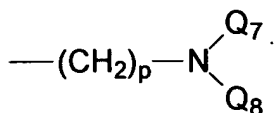
wherein p is an integer from 0 to 6.

24. The tetrahydroindolone derivative or analogue of claim 16 wherein D is an alkylpyridyl moiety of structure



wherein p is an integer from 1 to 6.

25. The tetrahydroindolone derivative or analogue of claim 16 wherein D is a dialkylaminoalkyl moiety of the structure



wherein p is an integer from 1 to 6 and Q₇ and Q₈ are alkyl, aralkyl, heteroaralkyl, aryl, heteroaryl, alkanoyl, aroyl, aralkanoyl, heteroaralkanoyl, or heteroaroyl in which the alkyl portions can be cyclic and can contain from 1 to 3 heteroatoms which can be N, O, or S, and when Q₁ and Q₂ are present together and are alkyl, they can be taken together to form a 5 or 6 member ring which may contain 1 other heteroatom which can be N, O, or S, of which the N may be further substituted with Y₂, where Y₂ is alkyl, aryl, heteroaryl, aralkyl, heteroaralkyl, alkanoyl, aroyl, heteroaroyl, aralkanoyl, heteroaralkanoyl, alkylsulfonyl, arylsulfonyl, heteroarylsulfonyl, aralkylsulfonyl, heteroaralkylsulfonyl, alkoxycarbonyl, aralkoxycarbonyl, heteroaralkoxycarbonyl, aralkoxycarbonyl, heteroaralkoxycarbonyl, alkylaminocarbonyl, arylaminocarbonyl, heteroarylamino carbonyl, aralkylaminocarbonyl, or heteroaralkylaminocarbonyl, in which the alkyl portions can be cyclic and can contain from 1 to 3 heteroatoms which can be N, O, or S.

26. The tetrahydroindolone derivative or analogue of claim 25 wherein Q₁ and Q₂ are each alkyl.

27. The tetrahydroindolone derivative or analogue of claim 26 wherein Q₁ and Q₂ are each selected from the group consisting of methyl, ethyl, propyl, and isopropyl.

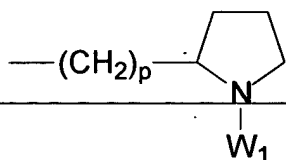
28. The tetrahydroindolone derivative or analogue of claim 25 wherein Q₁ and Q₂ can be taken together to form a five- or six-membered ring.

29. The tetrahydroindolone derivative or analogue of claim 28 wherein the ring is a morpholinyl ring.

30. The tetrahydroindolone derivative or analogue of claim 28 wherein the ring is a pyrrolidinyl ring that is optionally substituted with oxo.

31. The tetrahydroindolone derivative or analogue of claim 28 wherein the ring is a piperidinyl ring that is optionally substituted with methyl or ethyl.

32. The tetrahydroindolone derivative or analogue of claim 16 wherein D is an alkylpyrrolidino moiety of the structure



wherein p is an integer from 1 to 6 and W_1 is selected from the group consisting of methyl, ethyl, and propyl.

33. The tetrahydroindolone derivative or analogue of claim 1 that has a logP of from about 1 to about 4.

34. A tetrahydroindolone derivative or analogue that is 4-[3-(4-oxo-4,5,6,7-tetrahydroindolon-1-yl)propionylamino} benzoic acid ethyl ester.

35. A tetrahydroindolone derivative or analogue that is 4-[3-(4-oxo-4,5,6,7-tetrahydroindolon-1-yl)propionylamino} benzoic acid.

add
a'